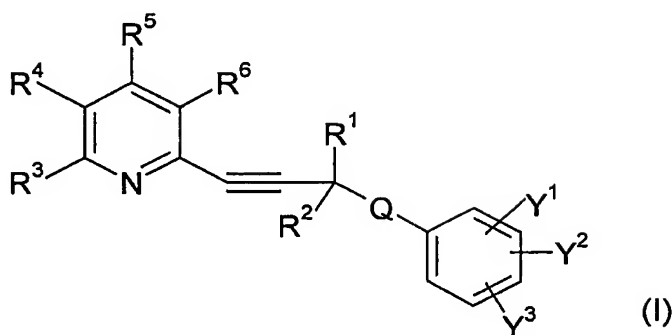


Claims

1. A compound of formula I



wherein

$R^1$  is selected from hydrogen,  $C_1$ - $C_4$  alkyl,  $C_3$ - $C_6$  cycloalkyl, aryl and heteroaryl, wherein the aryl or heteroaryl may be substituted by  $C_1$ - $C_4$  alkyl;

$R^2$  is selected from hydrogen and  $C_1$ - $C_4$  alkyl;

$R^3$  is selected from hydrogen,  $C_1$ - $C_4$  alkyl, F,  $CF_3$ ,  $CHF_2$  and  $CH_2F$ ;

$R^4$  is selected from hydrogen, F,  $CF_3$ ,  $CHF_2$ ,  $CH_2F$  and  $CH_3$ ;

$R^5$  is selected from hydrogen and F;

$R^6$  is selected from hydrogen and F;

Q is S, NH or  $NCH_3$ , optionally substituted by  $C_1$ - $C_4$  alkyl;

$Y^1$  is selected from hydrogen; halogen; nitrile;  $C_1$ - $C_4$  alkoxy;  $C_1$ - $C_4$  alkyl wherein one or more of the hydrogen atoms of the alkyl group may be substituted for a fluorine atom; benzyloxy; nitro in the meta or para position; and  $C_1$ - $C_4$  alkyl ester;

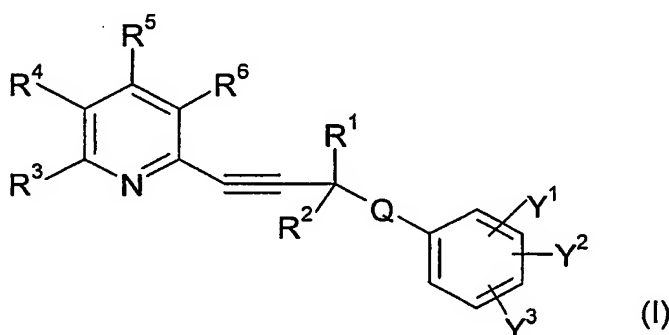
$Y^2$  is selected from hydrogen; halogen; nitrile;  $C_1$ - $C_4$  alkoxy;  $C_1$ - $C_4$  alkyl wherein one or more of the hydrogen atoms of the alkyl group may be substituted for a fluorine atom; and  $C_1$ - $C_4$  alkyl ester;

$Y^3$  is selected from hydrogen; halogen; nitrile;  $C_1$ - $C_4$  alkoxy;  $C_1$ - $C_4$  alkyl wherein one or more of the hydrogen atoms of the alkyl group may be substituted for a fluorine atom; and  $C_1$ - $C_4$  alkyl ester; or

$Y^1$  and  $Y^2$  may form an aromatic or non-aromatic ring, optionally substituted by halogen, nitrile,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkyl wherein one or more of the hydrogen atoms of the alkyl group may be substituted for a fluorine atom, benzyloxy or  $C_1$ - $C_4$  alkyl ester;

as well as pharmaceutically acceptable salts, hydrates, isoforms and/or optical isomers thereof.

2. A compound of formula I



wherein

$R^1$  is selected from hydrogen,  $C_1$ - $C_4$  alkyl,  $C_3$ - $C_6$  cycloalkyl, aryl and heteroaryl, wherein the aryl or heteroaryl may be substituted by  $C_1$ - $C_4$  alkyl;

$R^2$  is selected from hydrogen and  $C_1$ - $C_4$  alkyl;

$R^3$  is selected from hydrogen,  $C_1$ - $C_4$  alkyl, F,  $CF_3$ ,  $CHF_2$  and  $CH_2F$ ;

$R^4$  is selected from hydrogen, F,  $CF_3$ ,  $CHF_2$ ,  $CH_2F$  and  $CH_3$ ;

$R^5$  is selected from hydrogen and F;

$R^6$  is selected from hydrogen and F;

Q is S, NH or  $NCH_3$ , optionally substituted by  $C_1$ - $C_4$  alkyl;

$Y^1$  is selected from hydrogen, halogen, nitrile,  $C_1$ - $C_4$  alkoxy, and  $C_1$ - $C_4$  alkyl;

$Y^2$  is selected from hydrogen, halogen, nitrile,  $C_1$ - $C_4$  alkoxy, and  $C_1$ - $C_4$  alkyl;

$Y^3$  is selected from hydrogen, halogen, nitrile,  $C_1$ - $C_4$  alkoxy, and  $C_1$ - $C_4$  alkyl;

as well as pharmaceutically acceptable salts, hydrates, isoforms and/or optical isomers thereof.

3. A compound according to formula I of claim 1 or 2, wherein

5  $R^1$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^2$  is hydrogen;

$R^3$  is selected from hydrogen and methyl;

$R^4$  is hydrogen;

$R^5$  is hydrogen;

10  $R^6$  is hydrogen;

Q is S, NH or  $NCH_3$ , optionally substituted by  $C_1$ - $C_4$  alkyl;

$Y^1$  is selected from hydrogen, chloro,  $C_1$ - $C_2$  alkoxy, and  $C_1$ - $C_2$  alkyl; and

$Y^2$  is selected from hydrogen, chloro,  $C_1$ - $C_2$  alkoxy, and  $C_1$ - $C_2$  alkyl; and

$Y^3$  is hydrogen.

- 15 4. A compound according to claim 1 selected from *N*-[3-(6-methylpyridin-2-yl)prop-2-yn-1-yl]aniline;

*N*-benzyl-3-(6-methylpyridin-2-yl)prop-2-yn-1-amine;

*N*-methyl-*N*-[3-(6-methylpyridin-2-yl)prop-2-yn-1-yl]aniline;

20 (3-methylphenyl)[3-(6-methylpyridin-2-yl)prop-2-yn-1-yl]amine;

(3-methoxyphenyl)[3-(6-methylpyridin-2-yl)prop-2-yn-1-yl]amine;

(3-chlorophenyl)[3-(6-methylpyridin-2-yl)prop-2-yn-1-yl]amine;

[(3-phenylprop-2-yn-1-yl)thio]benzene;

1-methoxy-3-[(3-phenylprop-2-yn-1-yl)thio]benzene;

25 2-{3-[(3-chlorophenyl)thio]but-1-yn-1-yl}-6-methylpyridine;

2-methyl-6-[3-(phenylthio)prop-1-yn-1-yl]pyridine;

2-{3-[(3-chlorophenyl)thio]prop-1-yn-1-yl}-6-methylpyridine;

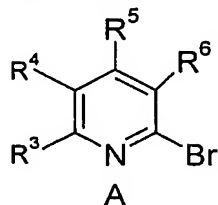
2-{3-[(3-methoxyphenyl)thio]prop-1-yn-1-yl}-6-methylpyridine;

2-methyl-6-{3-[(3-methylphenyl)thio]prop-1-yn-1-yl}pyridine;

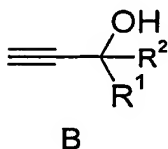
30 (*RS*)-2-{3-[(3-methoxyphenyl)thio]but-1-yn-1-yl}-6-methylpyridine;

2-[3-(3-chlorophenyl)-4-methylpent-1-yn-1-yl]-6-methylpyridine;  
2-{3-[(3,4-dimethylphenyl)thio]prop-1-yn-1-yl}-6-methylpyridine;  
2-{3-[(3,5-dimethylphenyl)thio]prop-1-yn-1-yl}-6-methylpyridine;  
2-{3-[(3-ethoxyphenyl)thio]prop-1-yn-1-yl}-6-methylpyridine;  
2-{3-[(4-*tert*-butylphenyl)thio]prop-1-yn-1-yl}-6-methylpyridine; and  
2-{3-[(3-chlorophenyl)thio]pent-1-yn-1-yl}-6-methylpyridine.

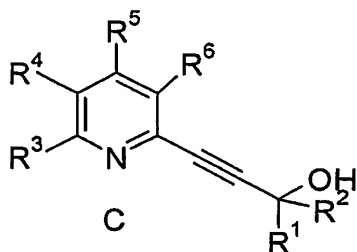
- 5
5. A compound according to any one of claims 1-4 for use in therapy.
- 10
6. A compound according to claim 5, wherein the therapy is treatment or prevention of gastroesophageal reflux disease.
- 15
7. Use of a compound of formula I of claim 1 or 2, or a pharmaceutically acceptable salt or an optical isomer thereof, for the manufacture of a medicament for the inhibition of transient lower esophageal sphincter relaxations.
- 20
8. Use of a compound of formula I of claim 1 or 2, or a pharmaceutically acceptable salt or an optical isomer thereof, for the manufacture of a medicament for treatment or prevention of gastroesophageal reflux disease.
- 25
9. A pharmaceutical composition comprising a compound of formula I of claim 1 or 2 as an active ingredient, together with a pharmacologically and pharmaceutically acceptable carrier.
10. A process for the preparation of a compound of formula I, whereby a coupling reaction of the aryl bromide A



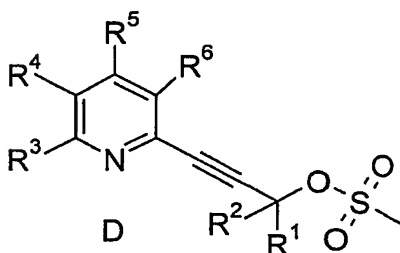
and the alcohol B



is performed in the presence of a base such as triethyl amine, giving the alcohol C



which is then converted into the mesylate D



and reacted with primary or secondary amines or a thiol nucleophile, and wherein

$R^1$  is selected from hydrogen,  $C_1$ - $C_4$  alkyl,  $C_3$ - $C_6$  cycloalkyl, aryl and heteroaryl, wherein the aryl or heteroaryl may be substituted by  $C_1$ - $C_4$  alkyl;

$R^2$  is selected from hydrogen and  $C_1$ - $C_4$  alkyl;

$R^3$  is selected from hydrogen,  $C_1$ - $C_4$  alkyl, F,  $CF_3$ ,  $CHF_2$  and  $CH_2F$ ;

$R^4$  is selected from hydrogen, F,  $CF_3$ ,  $CHF_2$ ,  $CH_2F$  and  $CH_3$ ;

$R^5$  is selected from hydrogen and F;

$R^6$  is selected from hydrogen and F.

11. A compound selected from (RS)-4-(6-methylpyridin-2-yl)but-3-yn-2-ol; 4-methyl-1-(6-methylpyridin-2-yl)pent-1-yn-3-ol; Methanesulfonic acid 3-pyridin-2-yl-prop-2-ynyl ester; and 1-(6-Methyl-pyridin-2-yl)-pent-1-yn-3-ol.

5 12. A method for the inhibition of transient lower esophageal sphincter relaxations whereby an effective amount of a compound of formula I of claim 1 or 2 is administered to a subject in need of such inhibition.

10 13. A method for the treatment or prevention of gastroesophageal reflux disease, whereby an effective amount of a compound of formula I of claim 1 or 2 is administered to a subject in need of such treatment or prevention.